Development of New Catalytic Method for the Direct Synthesis of \(N\)-Unprotected \(\alpha\)-Tertiary Amines

One of the most efficient methods to synthesize amines, which are ubiquitous in natural products and biologically active compounds, is nucleophilic addition to imines. In addition reactions, \(N\)-protected imines are often used because \(N\)-unprotected imines that could directly provide \(N\)-unprotected primary amines have the difficulties in the control of reactivity and stereoselectivity.

Professor Takashi Ohshima, Lecturer Hiroyuki Morimoto and Dr. Kazuhiro Morisaki from Kyushu University succeeded in developing new catalytic method: that is direct catalytic alkylation reactions of \(N\)-unprotected trifluoromethyl ketimines, which directly affords \(N\)-unprotected \(\alpha\)-tetrasubstituted primary amines under proton-transfer conditions without additional deprotection steps. They also succeeded in direct transformation of the \(N\)-unprotected amine product into derivatives of biologically active compounds.

The above results have been published online on April 27, 2017 in Chemical Communications, an international journal from the Royal Society of Chemistry.

For more information about this research, see:
Direct access to \(N\)-unprotected tetrastubstituted propargylamines via direct catalytic alkylation of \(N\)-unprotected trifluoromethyl ketimines.

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